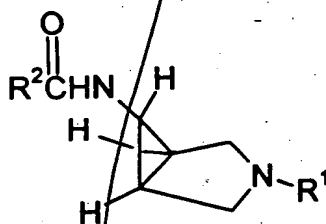


Claims

5

1. A process for preparing a compound of the formula

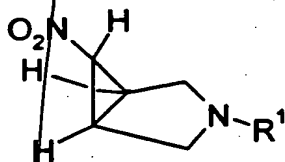


wherein

- 10 R^1 is benzyl, wherein the phenyl of the benzyl may be substituted by one or more of C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halo, nitro, amino or trifluoromethyl, and

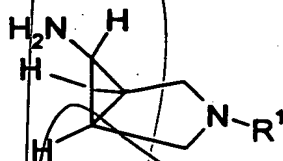
R^2 is C_1 - C_6 alkyl, trifluoromethyl, or phenyl which may be substituted by one or more of C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halo, nitro, amino or trifluoromethyl, which comprises

- (a) reducing a compound of the formula



- 15 wherein R^1 is as defined above, in the presence of iron and a organic solvent under acidic conditions, and

- (b) acylating the compound of formula III formed:

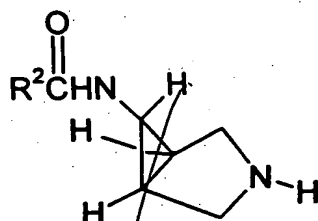


- 20 with an acylating agent of the formula $R^2C(O)X$ wherein R^2 is as defined above, and X is a leaving group.

2. A process according to claim 1 wherein the compound of the formula III formed in step (a) is not isolated before acylation step (b).

3. A process according to claim 1 or 2 wherein the compound of formula I wherein R^1 is as defined in claim 1, is subjected to debenzylation to form the compound of the
25 formula

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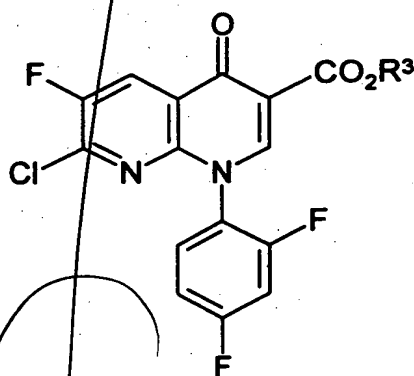


IV

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4. A process according to claim 3 wherein the debenzylation is by reaction with hydrogen and palladium catalyst in acetic acid and an organic solvent.

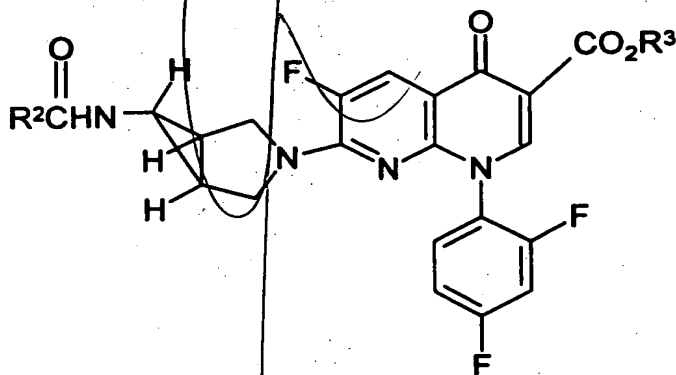
5. A process according to claim 3 or 4 further comprising reacting the compound of formula IV with a compound of the formula



V

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wherein R³ is C₁-C₈ alkyl, to form a compound of the formula

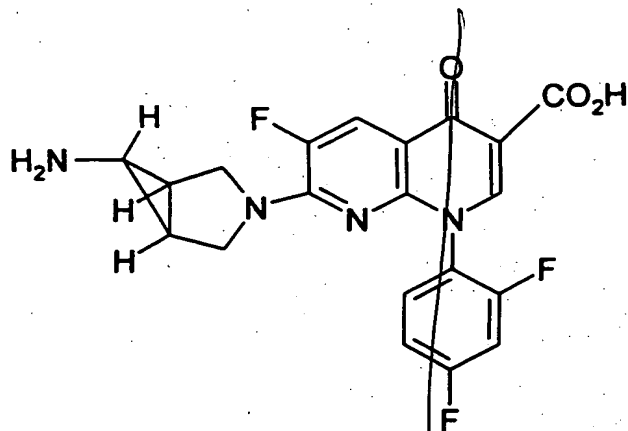


VI

wherein R² is as defined in claim 1

6. A process according to claim 5 further comprising hydrolysis of the compound of formula VI with methanesulfonic acid, water and an organic solvent to form the monomethanesulfonic acid salt of the compound of the formula

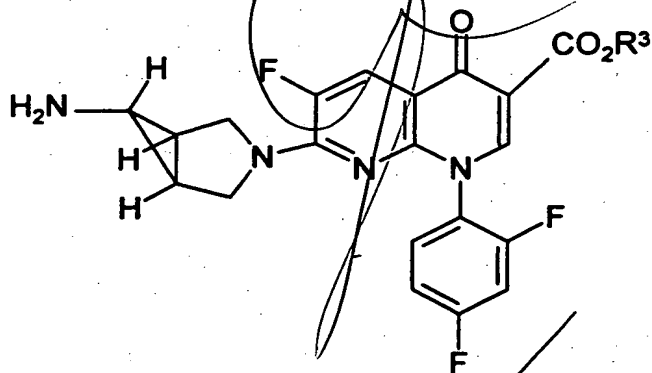
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VII

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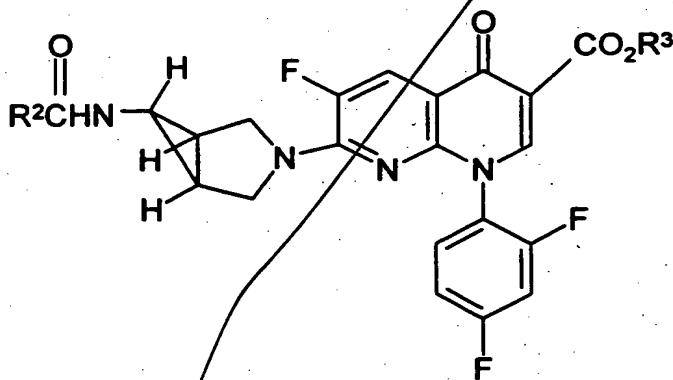
7. A process according to claim 5 or 6 further comprising hydrolysis of the compound of formula VI with methanesulfonic acid and R^3OH wherein R^3 is as defined in claim 5 to form the monomethanesulfonic acid salt of the compound of the formula



VIII

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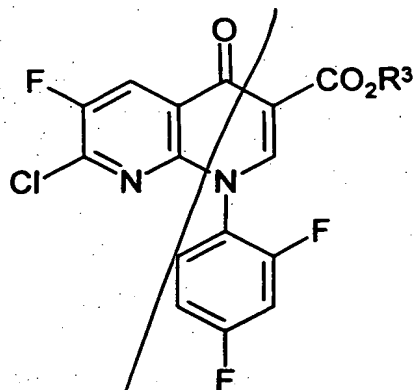
8. A process for the preparation of a compound of the formula



VI

wherein R^2 is R^2 is C_1-C_6 alkyl, trifluoromethyl, or phenyl which may be substituted by one or more of C_1-C_6 alkyl, C_1-C_6 alkoxy, halo, nitro, amino or trifluoromethyl, and R^3 is C_1-C_6 alkyl, which comprises reacting a compound of the formula

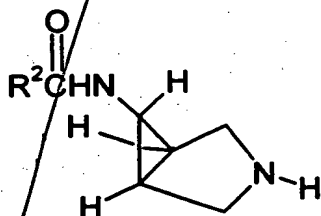
Seal B1



V

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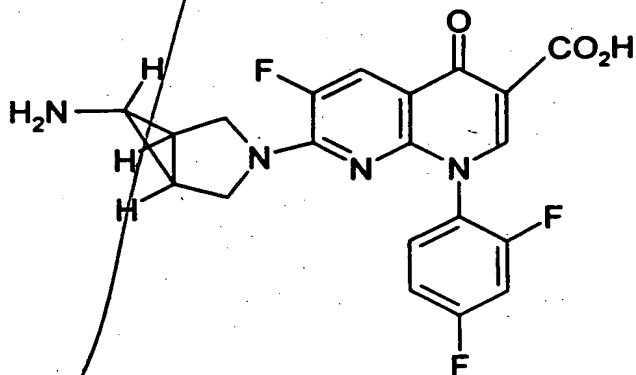
with a compound of the formula



IV

9. A process according to claim 8, further comprising hydrolysis of the compound of formula VI with methanesulfonic acid, water and an organic solvent to form the monomethanesulfonic acid salt of the compound of the formula

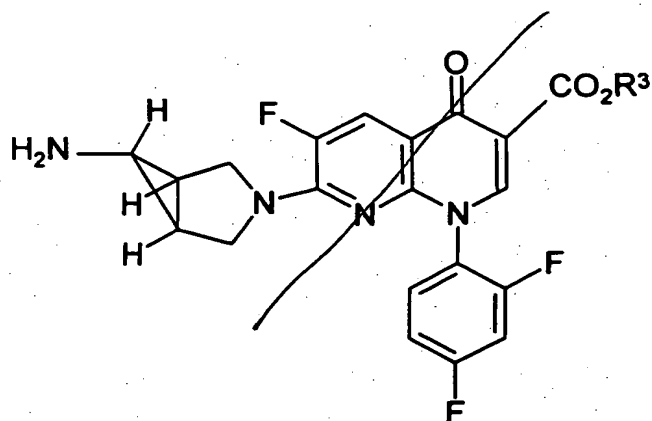
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VII

10. A process according to claim 8, further comprising hydrolysis of the compound of formula VI with methanesulfonic acid and R^3OH wherein R^3 is as defined in claim 5 to form the monomethanesulfonic acid salt of the compound of the formula

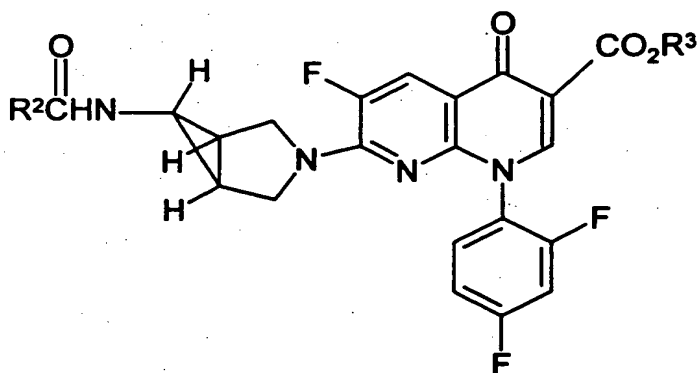
Sub B₁



VIII

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11. A compound of the formula

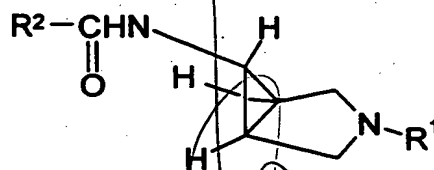


VI

wherein

R^2 is C_1-C_6 alkyl, trifluoromethyl, or phenyl which may be substituted by one or more of C_1-C_6 alkyl, C_1-C_6 alkoxy, halo, nitro, amino or trifluoromethyl, and R^3 is C_1-C_6 alkyl.

12. A compound of the formula



I

wherein

R^1 is hydrogen or benzyl, wherein the phenyl of the benzyl may be substituted by one or more of C_1-C_6 alkyl, C_1-C_6 alkoxy, halo, nitro, amino or trifluoromethyl, and R^2 is C_1-C_6 alkyl, trifluoromethyl, or phenyl which may be substituted by one or more of C_1-C_6 alkyl, C_1-C_6 alkoxy, halo, nitro, amino or trifluoromethyl.